

L6 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:63611 HCAPLUS &lt;&lt;LOGINID::20080310&gt;&gt;

DOCUMENT NUMBER: 146:148846

TITLE: Pharmaceutical propylene glycol solvate compositions and method for preparation thereof

INVENTOR(S): Tawa, Mark; Almarsson, Orn; Remenar, Julius

PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of Appl. No. PCT/US03/41273.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 18

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007015841	A1	20070118	US 2003-747742	20031229 <--
US 6559293	B1	20030506	US 2002-232589	20020903
US 2003166581	A1	20030904	US 2002-295995	20021118
US 6699840	B2	20040302		
US 2003224006	A1	20031204	US 2003-378956	20030303
US 2004019211	A1	20040129	US 2003-449307	20030530
US 7078526	B2	20060718		
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ZA 2004007377 A 20051004 ZA 2004-7377 20040914  
US 2006140985 A1 20060629 US 2005-541703 20050708

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US 2002-356764P P 20020215  
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WO 2003-US41273 A2 20031224  
US 2003-439283P P 20030110  
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WO 2003-US41642 A 20031229  
WO 2004-US400 W 20040108  
WO 2004-US6288 A 20040226  
US 2004-548343P P 20040227

AB The present invention provides a pharmaceutical composition comprising a propylene glycol solvate of a drug which is hygroscopic or has low aqueous solubility. It has surprisingly been found that by using propylene glycol to form a solvate of a hygroscopic drug, the hygroscopicity of the drug is

decreased and/or the stability and aqueous solubility is increased. The drug is therefore much easier to formulate and store than its counterpart untreated or hydrated form.

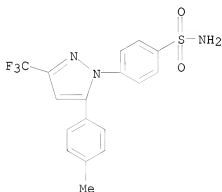
IT 169590-42-5, Celecoxib

RL: RCT (Reactant); RACT (Reactant or reagent)

(pharmaceutical propylene glycol solvate compns. and method for preparation thereof)

RN 169590-42-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



IT 639010-40-5P 919287-67-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(pharmaceutical propylene glycol solvate compns. and method for preparation thereof)

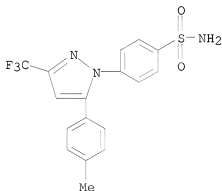
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CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol, hydrate (1:1:1:3) (CA INDEX NAME)

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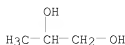
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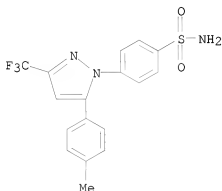
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RN 919287-67-5 HCAPLUS  
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol (1:1:1) (CA INDEX NAME)

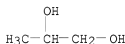
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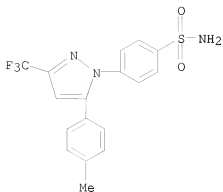


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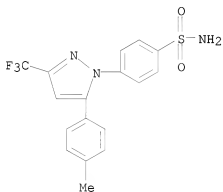
IT 639010-33-6, Celecoxib sodium 639010-34-7, Celecoxib  
lithium 639010-35-8, Celecoxib potassium  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical propylene glycol solvate compns. and method for preparation  
thereof)  
RN 639010-33-6 HCAPLUS  
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

RN 639010-34-7 HCAPLUS

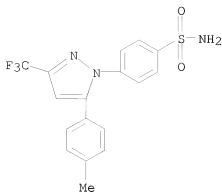
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, lithium salt (1:1) (CA INDEX NAME)



● Li

RN 639010-35-8 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, potassium salt (1:1) (CA INDEX NAME)



● K

L6 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:754423 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 141:282787  
 TITLE: Pharmaceutical cocrystal compositions of drugs such as carbamazepine, celecoxib, and olanzapine  
 INVENTOR(S): Almarsson, Oern; Bourghol Hickey, Magali; Peterson, Matthew; Zaworotko, Michael J.; Moulton, Brian; Rodriguez-Hornedo, Nair  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA; University of South Florida; The Regents of the University of Michigan  
 SOURCE: PCT Int. Appl., 489 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 18  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078161	A1	20040916	WO 2003-US27772	20030904
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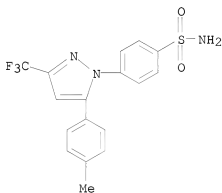
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 US 2004-590590P P 20040723  
 WO 2004-US29013 W 20040904

AB A pharmaceutical composition comprising a cocrystal of an active pharmaceutical ingredient (API) and a cocrystal forming compound wherein the API has at least 1 functional group selected from, e.g., ether, thioether, alc., thiol, aldehyde, ketone, thioketone, nitrate ester, phosphate ester, thiophosphate ester, ester, thioester, amine, secondary amine, ammonia, imidazole, or pyridine and the co-crystal forming compound has at least 1 functional group selected from e.g., amine, amide, pyridine, imidazole, indole, pyrrolidine, carbonyl, carboxyl, hydroxyl, phenol, or sulfone,, such that the API and cocrystal forming compound are capable of co-crystallizing from a solution phase under crystallization conditions. Thus, carbamazepine and p-phthalaldehyde were dissolved in MeOH and slow evaporation of the solvent gave 1:1 carbamazepine-p-phthalaldehyde cocrystals. The cocrystals were characterized by powder x-ray diffraction, DSC and IR spectrometry.

IT 169590-42-5, Celecoxib  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (pharmaceutical cocrystal comps. of drugs such as carbamazepine and celecoxib and olanzapine)

RN 169590-42-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

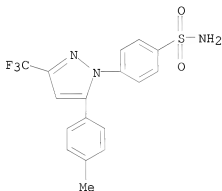
L6 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:589730 HCAPLUS <<LOGINID:20080310>>  
 DOCUMENT NUMBER: 141:145692  
 TITLE: Pharmaceutical compositions with improved dissolution  
 INVENTOR(S): Tawa, Mark; Remenar, Julius; Peterson, Matthew;  
 Almarsson, Orn; Guzman, Hector; Chen, Hongming;  
 Oliveira, Mark  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 257 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 18  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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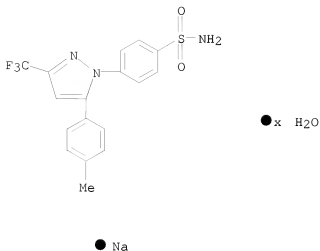
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US 2006140985	A1	20060629	US 2005-541703 20050708
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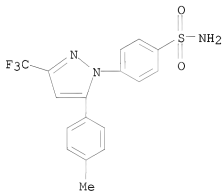
- AB The invention relates to methods of screening mixts. containing a pharmaceutical and an excipient to identify properties of the pharmaceutical/excipient combination that retard solid-state nucleation. The invention further relates to increasing the solubility, dissoln. and bioavailability of a drug with low solubility in gastric fluids conditions by combining the drug with a precipitation retardant and an optional enhancer. Thus, a celecoxib hydrate or solvate was prepd. and its dissoln. and crystal properties were determined
- IT 639010-33-6P, Celecoxib sodium  
 RL: PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)
- RN 639010-33-6 HCAPLUS
- CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



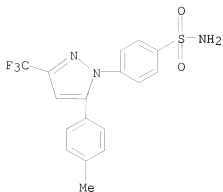
- IT 639010-42-7  
 RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)
- RN 639010-42-7 HCAPLUS
- CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monosodium salt, hydrate (9CI) (CA INDEX NAME)



IT 169590-42-5, Celecoxib  
 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)  
 RN 169590-42-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



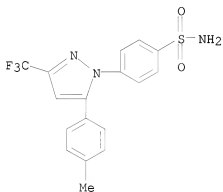
IT 639010-34-7P, Celecoxib lithium 639010-35-8P, Celecoxib potassium 639010-36-9P, Celecoxib calcium 639010-38-1P 639010-39-2P 639010-40-5P 919287-67-5P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)  
 RN 639010-34-7 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, lithium salt (1:1) (CA INDEX NAME)



● Li

RN 639010-35-8 HCAPLUS

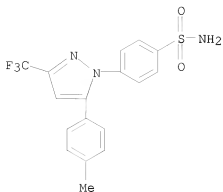
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, potassium salt (1:1) (CA INDEX NAME)



● K

RN 639010-36-9 HCAPLUS

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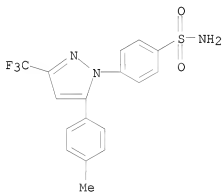
RN 639010-38-1 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monopotassium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

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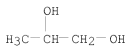
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CRN 57-55-6

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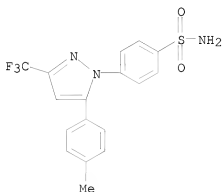


RN 639010-39-2 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monolithium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

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CRN 639010-34-7

CMF C17 H14 F3 N3 O2 S . Li

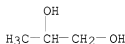


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CRN 57-55-6

CMF C3 H8 O2



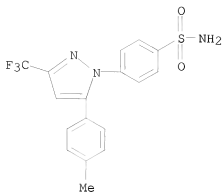
RN 639010-40-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol, hydrate (1:1:1:3) (CA INDEX NAME)

CM 1

CRN 169590-42-5

CMF C17 H14 F3 N3 O2 S

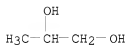




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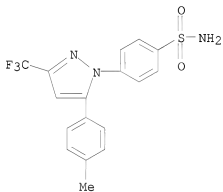
RN 919287-67-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol (1:1:1) (CA INDEX NAME)

CM 1

CRN 169590-42-5

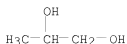
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CM 2

CRN 57-55-6

CMF C3 H8 O2



IT 9004-34-6D, Cellulose, esters 106392-12-5, Poloxamer  
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 181695-72-7, Valdecoxib 202409-33-4, Etoricoxib  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)  
 RN 9004-34-6 HCAPLUS  
 CN Cellulose (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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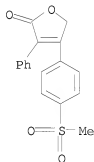


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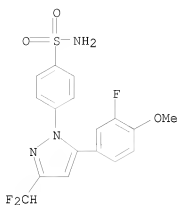


RN 162011-90-7 HCAPLUS  
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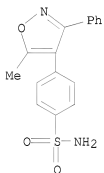
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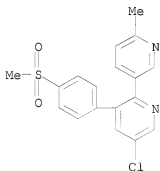
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CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)



RN 202409-33-4 HCAPLUS

CN 2,3'-Bipyridine, 5-chloro-6'-methyl-3-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)



L6 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2673 HCAPLUS &lt;&lt;LOGINID::20080310&gt;&gt;

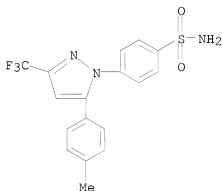
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 TITLE: Pharmaceutical compositions with improved dissolution  
 INVENTOR(S): Remenar, Julius; Peterson, Matthew; Almarsson, Orn; Guzman, Hector; Chen, Hongming; Tawa, Mark; Olivera, Mark  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 158 pp.  
 CODEN: PIXXD2  
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 FAMILY ACC. NUM. COUNT: 18  
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CN 1668283	A	20050914	CN 2003-817148	20030620
JP 2006500377	T	20060105	JP 2004-530963	20030620
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IN 2004CN02835	A 20060210	IN 2004-CN2835 20041215
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US 2006140985	A1 20060629	US 2005-541703 20050708
US 2007059356	A1 20070315	US 2005-546963 20050826
US 2006223794	A1 20061005	US 2005-551014 20050929
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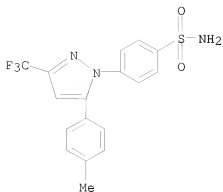
WO 2003-US41273 W 20031224  
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 WO 2003-US41642 W 20031229  
 WO 2004-US400 W 20040108  
 US 2004-542752P P 20040206  
 WO 2004-US6288 W 20040226  
 WO 2004-US9947 W 20040331

- AB The invention relates to methods of screening mixts. containing a pharmaceutical compound an excipient to identify properties of the pharmaceutical compound/excipient combination that retard solid-state nucleation. The invention further relates to increasing the solubility, dissoln. and bioavailability of a drug with low solubility in gastric fluids conditions by combining the drug with a recrystn./precipitation retardant and an optional enhancer. Thus, celecoxib sodium salt was prepared by dissolving celecoxib in 1N NaOH solution The product was characterized by PXRD, DSC and TGA.
- IT 639010-33-6P 639010-34-7P 639010-35-8P  
 639010-36-9P 639010-38-1P 919287-67-5P  
 RL: PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)
- RN 639010-33-6 HCAPLUS
- CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



● Na

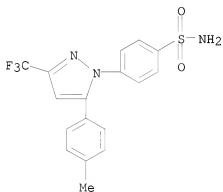
- RN 639010-34-7 HCAPLUS
- CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, lithium salt (1:1) (CA INDEX NAME)



● Li

RN 639010-35-8 HCAPLUS

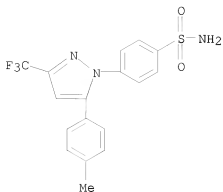
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, potassium salt (1:1) (CA INDEX NAME)



● K

RN 639010-36-9 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, calcium salt (2:1) (CA INDEX NAME)



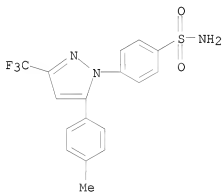
● 1/2 Ca

RN 639010-38-1 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monopotassium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 639010-35-8

CMF C17 H14 F3 N3 O2 S . K

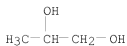


● K

CM 2

CRN 57-55-6

CMF C3 H8 O2



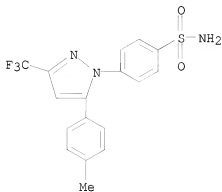


RN 919287-67-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol (1:1:1) (CA INDEX NAME)

CM 1

CRN 169590-42-5

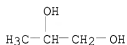
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CM 2

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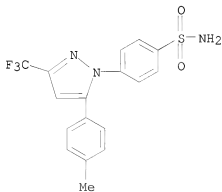
CMF C3 H8 O2



IT 169590-42-5, Celecoxib  
 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)

RN 169590-42-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



IT 639010-39-2P 639010-40-5P 639010-41-6P

639010-42-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceutical compns. with improved dissoln.)

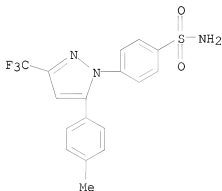
RN 639010-39-2 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monolithium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 639010-34-7

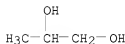
CMF C17 H14 F3 N3 O2 S . Li



CM 2

CRN 57-55-6

CMF C3 H8 O2



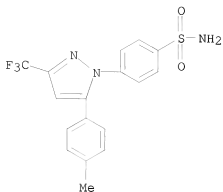
RN 639010-40-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol, hydrate (1:1:1:3) (CA INDEX NAME)

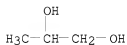
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CRN 169590-42-5

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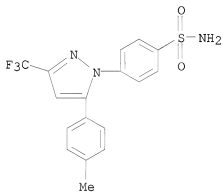


CM 2

CRN 57-55-6  
CMF C3 H8 O2

RN 639010-41-6 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monosodium salt, compd. with 2-propanol (9CI) (CA INDEX NAME)

CM 1

CRN 169590-42-5  
CMF C17 H14 F3 N3 O2 S

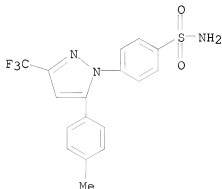
CM 2

CRN 67-63-0  
CMF C3 H8 O



RN 639010-42-7 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monosodium salt, hydrate (9CI) (CA INDEX NAME)

● x H<sub>2</sub>O

● Na

IT 9004-34-6D, Cellulose, esters 9004-64-2, Hydroxypropyl cellulose 9004-65-3, HPMC 9004-96-0, Polyethylene glycol monooleate 106392-12-5, Poloxamer 162011-90-7, Rofecoxib 169590-41-4, Deracoxib 181695-72-7, Valdecocix 202409-33-4, Etoricocix  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical compns. with improved dissoln.)

RN 9004-34-6 HCAPLUS

CN Cellulose (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9004-64-2 HCAPLUS

CN Cellulose, 2-hydroxypropyl ether (CA INDEX NAME)

CM 1

CRN 9004-34-6

CMF Unspecified

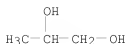
CCI PMS, MAN

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CM 2

CRN 57-55-6

CMF C3 H8 O2



RN 9004-65-3 HCAPLUS  
 CN Cellulose, 2-hydroxypropyl methyl ether (CA INDEX NAME)

CM 1

CRN 9004-34-6  
 CMF Unspecified  
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\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

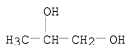
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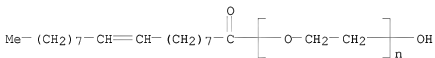


CM 3

CRN 57-55-6  
 CMF C3 H8 O2



RN 9004-96-0 HCAPLUS  
 CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[(9Z)-1-oxo-9-octadecen-1-yl]- $\omega$ -hydroxy- (CA INDEX NAME)



RN 106392-12-5 HCAPLUS  
 CN Oxirane, 2-methyl-, polymer with oxirane, block (CA INDEX NAME)

CM 1

CRN 75-56-9  
 CMF C3 H6 O



CM 2

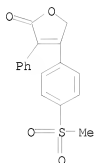
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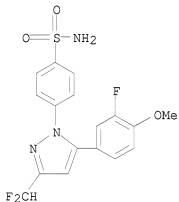
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CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-phenyl- (CA INDEX NAME)



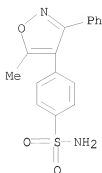
RN 169590-41-4 HCAPLUS

CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-(3-fluoro-4-methoxyphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

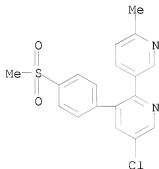


RN 181695-72-7 HCAPLUS

CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)



RN 202409-33-4 HCAPLUS

CN 2,3'-Bipyridine, 5-chloro-6'-methyl-3-[4-(methylsulfonyl)phenyl]- (CA  
INDEX NAME)

L13 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1238781 HCAPLUS &lt;&lt;LOGINID::20080310&gt;&gt;

DOCUMENT NUMBER: 147:491657

TITLE: Novel low dose pharmaceutical compositions comprising  
nimesulide, preparation and use thereof

INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand

PATENT ASSIGNEE(S): Panacea Biotec Ltd., India

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007122637	A1	20071101	WO 2007-IN162	20070423
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				

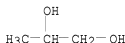
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GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM

IN 2006DE01033 A 20080118 IN 2006-DE1033 20060424  
PRIORITY APPLN. INFO.: IN 2006-DE1033 A 20060424

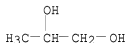
AB Low dose pharmaceutical dosage form comprising nimesulide or its pharmaceutically acceptable salts, esters, solvates or hydrates thereof, along with one or more pharmaceutically acceptable excipient(s) for once- or twice-a-day administration are provided. The present invention also provides process of preparing such dosage forms and therapeutic methods of using such dosage forms. The low dose compns. are designed to exhibit bioavailability with reduced side effects, which is effective in the treatment of NSAID indicated disorders particularly, which require long-term treatment regimens such as arthritis. Such compns. reduce the cost of therapy in diseases, which require long-term therapies, are easy to manufacture, and also result in the reduction of dose related side effects associated with nimesulide therapy. Thus, tablets containing nimesulide 75.0 mg, microcryst. cellulose 285.0 mg, lactose 100.0 mg, croscarmellose sodium 20.0 mg, hydrogenated castor oil 7.5 mg, talc 7.5 mg, and colloidal silica 7.5 mg were prepared by wet granulation using iso-Pr alc. and compression.

IT 57-55-6, Propylene glycol, biological studies 57-55-6D, Propylene glycol, C8-10 diesters  
RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(low-dose nimesulide compns. optionally in combination with other agents for treatment of inflammation and related disorders)

RN 57-55-6 HCAPLUS  
CN 1,2-Propanediol (CA INDEX NAME)



RN 57-55-6 HCAPLUS  
CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:845664 HCAPLUS <<LOGINID:20080310>>  
DOCUMENT NUMBER: 147:220080  
TITLE: Novel oral pharmaceutical compositions for poorly absorbable drugs comprising adsorbents, bioadhesive polymers, and permeation enhancers  
Jain, Rajesh; Jindal, Kour Chand; Devarajan, Sampath Kumar  
INVENTOR(S):  
PATENT ASSIGNEE(S): Panacea Biotec Ltd., India  
SOURCE: PCT Int. Appl., 41pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English

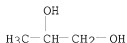


FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007086078	A3	20071213		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: IN 2006-DE242 A 20060130

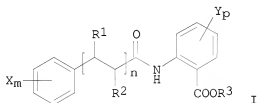
- AB Novel oral pharmaceutical compns. comprising (i) at least one active agent(s) or its pharmaceutically acceptable salts, polymorphs, solvates, hydrates, analogs, enantiomers, tautomeric forms or mixts. thereof; (ii) at least one permeation enhancer(s); (iii) at least one adsorbent(s), (iv) at least one bioadhesive polymer(s); (v) optionally at least one acid soluble polymer(s), and (vi) optionally one or more other pharmaceutically acceptable excipient(s) are provided. The active agents exhibit poor or incomplete absorption, are preferably absorbed from the upper part of the gastrointestinal tract, and/or exhibit dissoln. rate with limited gastrointestinal absorption. The compns. particularly target the absorption window of the active agent(s) delivering the active agent at the absorption site preferably over an extended period of time to enhance their bioavailability. Preferably the compns. are in the gastro-adhesive modified release form and/or fast disintegrating dosage form which release the active agent(s) over an extended period of time. Also provided are processes of preparation of such novel compns. and methods of using them. Thus, tablets were prepared comprising (a) a core composition containing amoxicillin trihydrate 500.0, glyceryl monocaprylate 60.0, microcryst. cellulose (Avicel PH 102) 100.0, sodium alginate 100.0, hydroxypropyl Me cellulose 50.0, anhydrous lactose (Pharmatose DCL 21) 42.3, and magnesium stearate 5.0, (b) a coating composition containing Eudragit E100 85.5, triacetin 8.5, talc 37.7, isopropanol as needed, and acetone as needed, and (c) an extragranular composition containing calcium CM-cellulose 100.0, Avicel PH 102 100.0, and magnesium stearate 10.0 mg, resp. The cores, prepared by dry granulation, were coated, mixed with the extragranular composition and compressed into tablets.
- IT 57-55-6, Propylene glycol, biological studies  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oral pharmaceutical compns. for poorly absorbable drugs comprising adsorbent, bioadhesive polymer and permeation enhancers)
- RN 57-55-6 HCAPLUS
- CN 1,2-Propanediol (CA INDEX NAME)



ACCESSION NUMBER: 2007:618382 HCAPLUS <<LOGINID:20080310>>  
 DOCUMENT NUMBER: 147:57851  
 TITLE: Mixtures comprising anthranilic acid amides and  
 antidandruff agents as cosmetic and pharmaceutical  
 compositions for alleviating itching  
 Schmaus, Gerhard; Roeding, Joachim  
 INVENTOR(S): Symrise G.m.b.H. & Co. K.-G., Germany  
 PATENT ASSIGNEE(S): PCT Int. Appl., 70pp.  
 SOURCE: CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007062957	A1	20070607	WO 2006-EP68077	20061103
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RO, TJ, TM</p>				

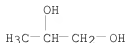
PRIORITY APPLN. INFO.: US 2005-740690P P 20051130  
 OTHER SOURCE(S): MARPAT 147:57851  
 GI



AB The invention relates to a mixture comprising or consisting of (a) one or more compds. of Formula I (R<sub>1</sub>, R<sub>2</sub> = H or together form another bond; R<sub>3</sub> = H, alkyl; X, Y = OH, O-alkyl, O-acyl; m = 0-3; n, p = 0-2) or cosmetically or pharmaceutically acceptable salts and solvates thereof, and (b) one or more antidandruff agents. Thus, a shampoo formulation containing 0.2% antidandruff compound climbazole and 0.05% the itch-alleviating compound dihydroavenanthramide D showed better efficacy in reducing scalp itching in subjects compared to a shampoo containing antidandruff compound only. After 42 days, the intensity of itching could be reduced from 4.1 to a value of 2.4 on the itching scale of 1 to 6.

IT 57-55-6, Propylene glycol, biological studies  
 RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)  
 (comps. comprising mixts. of anthranilic acid amides and antidandruff agents for alleviating itching)

RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS ON STN  
 ACCESSION NUMBER: 2007:63611 HCAPLUS <<LOGINID:20080310>>  
 DOCUMENT NUMBER: 146:148846  
 TITLE: Pharmaceutical propylene glycol solvate  
 compositions and method for preparation thereof  
 Tawa, Mark; Almarsson, Orn; Remenar, Julius  
 INVENTOR(S): Transform Pharmaceuticals, Inc., USA  
 PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of Appl.  
 SOURCE: No. PCT/US03/41273.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 18  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007015841	A1	20070118	US 2003-747742	20031229
US 6559293	B1	20030506	US 2002-232589	20020903
US 2003166581	A1	20030904	US 2002-295995	20021118
US 6699840	B2	20040302		
US 2003224006	A1	20031204	US 2003-378956	20030303
US 2004019211	A1	20040129	US 2003-449307	20030530
US 7078526	B2	20060718		
WO 2004000284	A1	20031231	WO 2003-US19574	20030620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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US 2005025791	A1	20050203	US 2003-601092	20030620
US 2004053853	A1	20040318	US 2003-637829	20030808
WO 2004078161	A1	20040916	WO 2003-US27772	20030904
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US 2007026078	A1	20070201	US 2003-660202	20030911
WO 2004061433	A1	20040722	WO 2003-US41273	20031224
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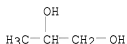
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WO 2004063152	A2	20040729	WO 2004-US400
WO 2004063152	A3	20041111	20040108
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WO 2004089313	A2	20041021	WO 2004-US9947
WO 2004089313	A3	20051124	20040331
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
ZA 2004007377	A	20051004	ZA 2004-7377
US 2006140985	A1	20060629	20040914
PRIORITY APPLN. INFO.:			20050708
			20020215
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			20030110

WO 2003-US28982 A2 20030916  
 US 2003-747742 A 20031229  
 WO 2003-US41642 A 20031229  
 WO 2004-US400 W 20040108  
 WO 2004-US6288 A 20040226  
 US 2004-548343P P 20040227

AB The present invention provides a pharmaceutical composition comprising a propylene glycol solvate of a drug which is hygroscopic or has low aqueous solubility. It has surprisingly been found that by using propylene glycol to form a solvate of a hygroscopic drug, the hygroscopicity of the drug is decreased and/or the stability and aqueous solubility is increased. The drug is therefore much easier to formulate and store than its counterpart untreated or hydrated form.

IT 57-55-6, Propylene glycol, biological studies  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (pharmaceutical propylene glycol solvate compns. and method for preparation thereof)

RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1251802 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 146:33009  
 TITLE: Injections containing COX II inhibitors and NSAID for analgesic and antiinflammatory action  
 INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand; Singh, Sukhjeet; Boldhane, Sanjay  
 PATENT ASSIGNEE(S): Panacea Biotec Ltd., India  
 SOURCE: PCT Int. Appl., 33pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

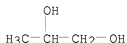
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006126214	A2	20061130	WO 2006-IN177	20060525
WO 2006126214	A3	20070607		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
IN 2005DE01357	A	20061208	IN 2005-DE1357	20050527
AU 2006250765	A1	20061130	AU 2006-250765	20060525

CA 2609242 A1 20061130 CA 2006-2609242 20060525  
 KR 2008016689 A 20080221 KR 2007-730585 20071227  
 PRIORITY APPLN. INFO.: IN 2005-DE1357 A 20050527  
 WO 2006-IN177 W 20060525

AB Novel and highly stable injectable pharmaceutical compns. comprising at least one cyclooxygenase-II enzyme (COX-II) inhibitor or non-steroidal anti-inflammatory drug (NSAID) or COX/LOX inhibitor, or its tautomeric forms, analogs, isomers, polymorphs, solvates, prodrugs or salts thereof as active ingredient suitable for parenteral administration preferably by i.m. or i.v. route; process of preparing such compns. and therapeutic methods of using such compns. are provided. The analgesic and anti-inflammatory injectable compns. of the present invention are very useful in mammals particularly in humans for the treatment of acute painful conditions like one or more of post-operative trauma, pain associated with cancer, sports injuries, migraine headache, neurol. pain and pain associated with sciatica and spondylitis, and the like, and/or chronic painful conditions, and/or a variety of painful and inflammatory conditions like postoperative pain, primary dysmenorrhea and painful osteoarthritis, and/or other associated disorders such as inflammation, fever, allergy, or the like. For example, injections contained nimesulide, PEG, propylene glycol, glycine and sodium hydroxide.

IT 57-55-6, Propylene glycol, biological studies  
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (injections containing COX II inhibitors and NSAID for analgesic and antiinflammatory action)

RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:844631 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 145:256166  
 TITLE: Transmucosal administration of drug compositions for treating and preventing disorders in animals  
 INVENTOR(S): Heit, Mark; Benitz, Antonio; Steadman, Dennis; Petrick, David  
 PATENT ASSIGNEE(S): Velcera Pharmaceuticals, USA; Novadel Pharma, Inc.  
 SOURCE: PCT Int. Appl., 128pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006089082	A2	20060824	WO 2006-US5575	20060217
WO 2006089082	A3	20070712		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
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AU 2006214166	A1	20060824	AU 2006-214166	20060217
CA 2597956	A1	20060824	CA 2006-2597956	20060217
US 2006239928	A1	20061026	US 2006-356451	20060217
EP 1848270	A2	20071031	EP 2006-735301	20060217

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 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, YU

PRIORITY APPLN. INFO.:

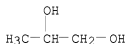
US 2005-653964P	P	20050217
US 2005-661920P	P	20050316
US 2005-664181P	P	20050323
US 2005-664183P	P	20050323
US 2005-664938P	P	20050325
US 2005-664939P	P	20050325
US 2005-665525P	P	20050328
US 2005-669888P	P	20050411
US 2005-670651P	P	20050413
US 2005-693942P	P	20050627
WO 2006-US5575	W	20060217

AB The invention includes compns. for transmucosal administration to an animal comprising at least one active agent and a pharmaceutically acceptable carrier. A preferred active agent is selected from the group consisting of meloxicam, carprofen, enrofloxacin, clemastine, diphenhydramine, digoxin, levothyroxine, cyclosporine, ondansetron, lysine, zolpidem, propofol, nitenpyram, ivermectin, milbemycin, and pharmaceutically acceptable salts, solvates and esters thereof. In another embodiment, the invention includes methods of treating or preventing a condition in an animal comprising transmucosally administering a composition comprising a therapeutically or prophylactically effective amount of an active agent and a pharmaceutically acceptable carrier. For example, composition was prepared containing meloxicam 4.67 mg, boric acid 0.77 mg, potassium chloride 0.93 mg, polyvinyl alc. 5 mg, Et alc. 150 mg, sodium hydroxide 1.08 mg and water 837.57.

IT 57-55-6, Propylene glycol, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (transmucosal administration of drug compns. for treating and preventing disorders in animals)

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2006:608688 HCAPLUS <<LOGINID:20080310>>

DOCUMENT NUMBER: 145:70090

TITLE: Polymorphic forms of levosalbutamol and pharmaceutical compositions containing them

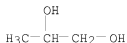
INVENTOR(S): Lulla, Amar; Malhotra, Geena; Rao, Dharmaraj  
 Ramchandra; Kankan, Rajendra Narayanrao; Chaudhary, Alka

PATENT ASSIGNEE(S): Cipla Limited, India; Turner, Craig Robert

SOURCE: PCT Int. Appl., 64 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006064283	A1	20060622	WO 2005-GB4935	20051219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2005315337	A1	20060622	AU 2005-315337	20051219
CA 2591406	A1	20060622	CA 2005-2591406	20051219
US 2006241191	A1	20061026	US 2005-305226	20051219
EP 1828100	A1	20070905	EP 2005-843722	20051219
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IN 2006MN00567	A	20070518	IN 2006-MN567	20060515
MX 200707378	A	20070814	MX 2007-7378	20070618
KR 2007100735	A	20071011	KR 2007-716000	20070713
CN 101124198	A	20080213	CN 2005-80048439	20070817
PRIORITY APPLN. INFO.:			IN 2004-MU1356	A 20041217
			IN 2005-MU40	A 20050114
			IN 2005-MU343	A 20050324
			WO 2005-GB4935	W 20051219
AB	The invention provides 3 polymorphic forms of crystalline levosalbutamol sulfate designated herein as Forms (I), (II) and (III). The above crystalline levosalbutamol sulfate Forms are characterized by a powder XRD pattern. Processes for making the new polymorphic forms and pharmaceutical compns. comprising them are also provided. A pharmaceutical composition comprises a therapeutically effective isomer of salbutamol or a salt, solvate, ester, derivative or polymorph thereof, a glucocorticoid and a carrier or excipient and optionally one or more other therapeutic agents. Preferably the composition is an aerosol formulation comprising the drugs, a propellant and one or more other ingredients, such as a surfactant, cosolvent, or bulking agent. Alternatively, DPI or inhalation suspensions may be used. Thus, an inhalant formulation contained levosalbutamol sulfate 10.08 and fluticasone propionate 8.24 mg, and Propellant-227 g.			
IT	57-55-6, 1,2-Propanediol, biological studies RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (polymorphic forms of levosalbutamol and pharmaceutical compns. containing them)			
RN	57-55-6 HCAPLUS			
CN	1,2-Propanediol (CA INDEX NAME)			

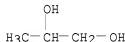




REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1049848 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 143:353332  
 TITLE: Preparation of novel pharmaceutical forms  
 INVENTOR(S): Hickey, Magali Bourghol; Peterson, Matthew; Almarsson, Orn; Zaworotko, Michael J.; Shattock, Tanise; McMahon, Jennifer; Bis, Joanna; Remenar, Julius; Tawa, Mark  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089511	A2	20050929	WO 2005-US9305	20050317
WO 2005089511	A3	20070222		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2004-554834P	P 20040319
			US 2004-566647P	P 20040430
			US 2004-610296P	P 20040916
			US 2004-637907P	P 20041221
AB Crystalline salts, polymorphs, solvates, and hydrates of bicalutamide, 5-fluorouracil, donepezil, anastrozole, nelfinavir, mirtazapine, lansoprazole, and tamsulosin, or derivs. thereof are provided by the subject invention. Methods of making and using the same are also provided. Thus, donepezil and nicotinamide were dissolved in EtOH and excess of water to give donepezil tetrahydrate. IT 57-55-6, Propylene glycol, uses RL: NUU (Other use, unclassified); USES (Uses) (preparation of novel pharmaceutical forms) RN 57-55-6 HCAPLUS CN 1,2-Propanediol (CA INDEX NAME)				



L13 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:98819 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 142:198250  
 TITLE: Medicaments for inhalation comprising an anticholinergic and a betamimetic

INVENTOR(S): Meade, Christopher John Montague; Pairet, Michel;  
Pieper, Michael P.; Konetzki, Ingo  
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany  
SOURCE: U.S. Pat. Appl. Publ., 33 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005025718	A1	20050203	US 2004-891564	20040715
CA 2534120	A1	20050217	CA 2004-2534120	20040717
WO 2005013994	A1	20050217	WO 2004-EP8013	20040717
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1651224	A1	20060503	EP 2004-741123	20040717
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007500676	T	20070118	JP 2006-521457	20040717
PRIORITY APPLN. INFO.:			EP 2003-17349	A 20030731
			US 2003-508124P	P 20031002
			WO 2004-EP8013	W 20040717
OTHER SOURCE(S):	CASREACT 142:198250; MARPAT 142:198250			
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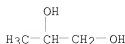
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB A pharmaceutical composition comprising an anticholinergic, e.g., tropium salt I-X- (X = anion of single neg. charge; F, Cl, Br, I, sulfate, phosphate, SO<sub>3</sub>Me, NO<sub>3</sub>, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, OBz, SO<sub>3</sub>C<sub>6</sub>H<sub>4</sub>Me-4; optionally as racemates, enantiomers, solvates and/or hydrates), quaternary ammonium salt II-X- [R = Me, Et], or alkaloid salt III-X- [A = bond, O, CH<sub>2</sub>, H<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = Me, Et, CH<sub>2</sub>Et, CHMe<sub>2</sub> (optionally substituted by OH, F); R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = H, Me, Et, OMe, OEt, OH, F, Cl, Br, CN, CF<sub>3</sub>, NO<sub>2</sub>; R<sub>7</sub> = H, Me, Et, OMe, OEt, CH<sub>2</sub>F, CH<sub>2</sub>CH<sub>2</sub>F, OCH<sub>2</sub>F, OCH<sub>2</sub>CH<sub>2</sub>F, CH<sub>2</sub>OH, CH<sub>2</sub>CH<sub>2</sub>OH, CF<sub>3</sub>, CH<sub>2</sub>OMe, CH<sub>2</sub>CH<sub>2</sub>OMe, CH<sub>2</sub>OEt, CH<sub>2</sub>CH<sub>2</sub>OEt, OAc, OC(=O)Et, OC(=O)CF<sub>3</sub>, F, Cl, Br, and a betamimetic, e.g., quinolone IV or its enantiomers, optionally together with a pharmaceutically acceptable excipient, the anticholinergic and the betamimetic optionally in the form of their enantiomers, mixts. of their enantiomers, their racemates, their solvates, or their hydrates, processes for preparing them, and their use in the treatment of asthma, COPD, or other inflammatory or obstructive respiratory complaints.

IT 57-55-6, Propylene glycol, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(inhalant co-solvent; pharmaceutical composition for inhalation comprising anticholinergic and betamimetic)

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:589401 HCAPLUS <LOGINID:20080310>>  
 DOCUMENT NUMBER: 141:128859  
 TITLE: Pharmaceutical propylene glycol solvate compositions  
 INVENTOR(S): Tawa, Mark; Almarsson, Oern; Remenar, Julius  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 317 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 18  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060347	A2	20040722	WO 2003-US41642	20031229
WO 2004060347	A3	20041104		
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WO 2004000284	A1	20031231	WO 2003-US19574	20030620
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US 2005025791	A1	20050203	US 2003-601092	20030620
WO 2004026235	A2	20040401	WO 2003-US28982	20030916
WO 2004026235	A3	20040805		
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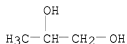
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AU 2003300452	A1 20040729	AU 2003-300452	20031229
WO 2004089313	A2 20041021	WO 2004-US9947	20040331
WO 2004089313	A3 20051124		
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US 2006140985	A1 20060629	US 2005-541703	20050708
US 2006223794	A1 20061005	US 2005-551014	20050929
PRIORITY APPLN. INFO.:		US 2002-232589	A 20020903
		US 2002-437516P	P 20021230
		US 2003-441335P	P 20030121
		US 2003-456027P	P 20030318
		US 2003-456608P	P 20030321
		US 2003-459501P	P 20030401
		US 2003-601092	A 20030620
		WO 2003-US19574	A 20030620
		US 2003-486713P	P 20030711
		WO 2003-US28982	A 20030916
		WO 2003-US41273	A 20031224
		US 2002-356764P	P 20020215
		US 2002-360768P	P 20020301
		US 2002-380288P	P 20020515
		US 2002-384152P	P 20020531
		US 2002-390881P	P 20020621
		US 2002-406974P	P 20020830
		US 2002-412459P	P 20020920
		US 2002-426275P	P 20021114
		US 2002-427086P	P 20021115
		US 2002-295995	A3 20021118
		US 2002-428515P	P 20021122
		US 2002-429515P	P 20021126
		US 2003-439282P	P 20030110
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		US 2003-451213P	P 20030228
		US 2003-378956	A 20030303
		US 2003-463962P	P 20030418
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		US 2003-487064P	P 20030711
		US 2003-637829	A 20030808
		WO 2003-US27772	A2 20030904
		US 2003-660202	A2 20030911

US 2003-747742 A 20031229  
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WO 2003-US341642 A 20031229  
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WO 2004-US400 W 20040108  
WO 2004-US6288 A 20040226  
US 2004-548343P P 20040227  
WO 2004-US9947 W 20040331

AB The invention relates to pharmaceutical compns. comprising propylene glycol solvates of active pharmaceutical ingredients (APIs) which are hygroscopic or has low aqueous solubility. The composition comprises solvate characterized by (i) the mole ratio of propylene glycol to API in the range of 0.25 to 2; (ii) a crystalline form, (iii) a powder X-ray diffraction spectrum which differs from the corresponding powder X-ray diffraction spectrum of the unsolvated API by at least one property, (iv) stability to temps. of up to 50° under a stream of gas in a thermogravimetric anal. apparatus, (v) the API is optionally in the form of a metal salt, such as an alkali or an alkaline earth metal salt, (vi) the API has low aqueous solubility and is selected from steroid drugs, and (vii) the composition further comprises a pharmaceutically-acceptable diluent, excipient or carrier. A method for preparing a propylene glycol solvate of an API comprises (a) contacting propylene glycol with an API in solution, (b) crystallizing a propylene glycol solvate of the API from the solution, and (c) isolating the solvate. For example, to a solution of celecoxib (253 mg, 0.664 mmol) in di-Et ether (6 mL) was added propylene glycol (0.075 mL, 102 mmol). To the clear solution was added potassium t-butoxide in THF (1 M, 0.66 mL, 0.66 mmol). Crystals immediately began to form and after 5 min the solid had completely crystallized. The crystalline salt form was found to be a 1:1 propylene glycol solvate of celecoxib potassium salt.

IT 57-55-6, Propylene glycol, reactions  
RI: RCT (Reactant); RACT (Reactant or reagent)  
(preparation and compns. of propylene glycol solvates with hygroscopic or low soluble drugs)

RN 57-55-6 HCAPLUS  
CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2003:837083 HCAPLUS <LOGINID:20080310>>  
DOCUMENT NUMBER: 139:341650  
TITLE: Medicaments containing betamimetic drugs and a novel anticholinesterase drug for treating respiratory tract diseases  
INVENTOR(S): Banholzer, Rolf; Meade, Christopher John Montague; Meisner, Helmut; Morschhauser, Gerd; Pairat, Michel; Pieper, Michael P.; Pohl, Gerald; Reichl, Richard; Speck, Georg; Konetzki, Ingo  
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany  
SOURCE: PCT Int. Appl., 45 pp.  
DOCUMENT TYPE: CODEN: PIXXD2  
LANGUAGE: Patent  
FAMILY ACC. NUM. COUNT: German 1

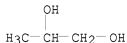
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087097	A1	20031023	WO 2003-EP3669	20030409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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DE 10256317	A1	20031023	DE 2002-10256317	20021203
US 2004010003	A1	20040115	US 2003-395501	20030324
CA 2481468	A1	20031023	CA 2003-2481468	20030409
AU 2003232201	A1	20031027	AU 2003-232201	20030409
EP 1497289	A1	20050119	EP 2003-746158	20030409
EP 1497289	B1	20050824		
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BR 2003009185	A	20050215	BR 2003-9185	20030409
CN 1646527	A	20050727	CN 2003-808330	20030409
AT 302774	T	20050915	AT 2003-746158	20030409
JP 2005529111	T	20050929	JP 2003-584053	20030409
EP 1586574	A1	20051019	EP 2005-10708	20030409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PT 1497289	T	20051130	PT 2003-746158	20030409
ES 2248767	T3	20060316	ES 2003-746158	20030409
NZ 536337	A	20070531	NZ 2003-536337	20030409
ZA 2004006881	A	20060628	ZA 2004-6881	20040830
NO 2004004107	A	20041104	NO 2004-4107	20040927
IN 2004DN02916	A	20070413	IN 2004-DN2916	20040928
MX 2004PA09916	A	20050503	MX 2004-PA9916	20041008
PRIORITY APPLN. INFO.:			DE 2002-10216428	A 20020412
			DE 2002-10256317	A 20021203
			US 2002-386160P	P 20020605
			EP 2003-746158	A3 20030409
			WO 2003-EP3669	W 20030409
OTHER SOURCE(S):	MARPAT 139:341650			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to novel medicament compns. based on long-acting  $\beta_2$  agonists and salts I-X- [X = simple anion (Cl, Br, I, sulfate, phosphate, O3SMe, NO3, maleate, OAc, citrate, fumarate, tartrate, oxalate, succinate, O2CPh, OTs)], of a novel anticholinesterase drug I, to methods for the production of these compns. and their use in treating respiratory tract diseases. The invention also relates to the combination of I with one or more biomimetics II [R1, R2 = H, Cl-4-alkyl; R3, R4 = H, Cl-4-alkyl, O-(Cl-4-alkyl), (Cl-4-alkylene)-O-(Cl-4-alkyl); R3R4 = Cl-4-alkylene, O-(Cl-4-alkylene)-O], their enantiomers, mixts., racemates, solvates, hydrates or with salmeterol, formoterol or their acid addition salts. Thus, an example inhalation powder formulation comprises I-Br- and II-HO2CCH:CHCO2H-(Z) (R1 = R2 = H, R3 = R4 = Et)

and lactose.  
 IT 57-55-6, Propylene glycol, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (inhalant co-solvent; medicaments containing betamimetic drugs  
 and a novel anticholinesterase drug for treating respiratory tract  
 diseases)  
 RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

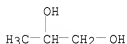
L13 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:923625 HCAPLUS <LOGINID:20080310>  
 DOCUMENT NUMBER: 136:58810  
 TITLE: Pharmaceutical anti-inflammatory aerosol formulation  
 containing a hydrofluoroalkane propellant  
 INVENTOR(S): Armour, Duncan Robert; Brown, David; Congreve, Miles  
 Stuart; Gore, Paul Martin; Green, Darren Victor  
 Steven; Holman, Stuart; Jack, Torquil Iain MacLean;  
 Mason, Andrew McMurtrie; Morris, Karen; Ramsden,  
 Nigel Grahame; Thomas, Marian; Ward, Peter  
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK; et al.  
 SOURCE: PCT Int. Appl., 21 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095925	A1	20011220	WO 2001-GB2613	20010615
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BU, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1289539	A1	20030312	EP 2001-938435	20010615
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004503505	T	20040205	JP 2002-510103	20010615
PRIORITY APPLN. INFO.:			GB 2000-14881	A 20000616
			WO 2001-GB2613	W 20010615

AB The present invention relates to a pharmaceutical aerosol formulation comprising a hydrofluoroalkane (HFA) propellant having dissolved therein particulate (2S)-3-[4-((4-(aminocarbonyl)-1-piperidinyl)carbonyl)oxy]phenyl]-2-[(2S)-4-met yl-2-[[2-(2-methylphenoxy)acetyl]amino]pentanoyl]amino] propanoic acid (I) or a salt or solvate thereof. Methods and uses of the formulation in the treatment of respiratory disorders are also described, as are canisters and metered dose inhalers containing said

formulation. For example, I was prepared, formulated as aerosol containing 1% I, 10% ethanol, and 1,1,1,2-tetrafluoroethane up to 100% (by weight), and the formulation was filled into an aluminum canister, to obtain a metered dose inhaler with about 120 actuations.

IT 57-55-6, Propylene glycol, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation and aerosol formulation of anti-inflammatory leucyl-tyrosine derivative for treatment of respiratory disorders)  
 RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:747580 HCAPLUS <LOGINID:20080310>  
 DOCUMENT NUMBER: 135:278052  
 TITLE: Oily compositions containing highly fat-soluble drugs  
 INVENTOR(S): Nishihara, Yoshitaka; Kinoshita, Haruki; Yoshikawa, Takayoshi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074331	A1	20011011	WO 2001-JP2621	20010329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001044614	A	20011015	AU 2001-44614	20010329
CA 2404381	A1	20020926	CA 2001-2404381	20010329
EP 1273287	A1	20030108	EP 2001-917589	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 3831253	B2	20061011	JP 2001-572076	20010329
MX 2002PA09763	A	20030327	MX 2002-PA9763	20021003
US 2003149061	A1	20030807	US 2002-240602	20021003
PRIORITY APPLN. INFO.:			JP 2000-102272	A 20000404
			WO 2001-JP2621	W 20010329

OTHER SOURCE(S): MARPAT 135:278052

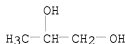
AB Disclosed are oily compns. which contain as the principal agent highly fat-soluble drugs, pharmaceutically acceptable salts and solvates thereof and further contain (1) a triester of glycerol



with a medium-chain fatty acid and/or an ester of propylene glycol with a medium-chain fatty acid, (2) a triester of glycerol with a long-chain fatty acid, and (3) a surfactant. An emulsion contained 3'-fluoro-2',3',5',6'-tetramethyl-N-(3-methyl-2-butenyl)-4'-[[3-methyl-2-butenyl]oxy]-[1,1':4,1''-terphenyl]-4-amine 10 %, Miglyol-812 60 %, avocado oil 10 %, and sorbitan monopalmitate 20 %.

IT 57-55-6D, Propylene glycol, esters with medium-chain fatty acids  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oily compns. containing highly fat-soluble drugs)

RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:247477 HCAPLUS <LOGINID:20080310>>  
 DOCUMENT NUMBER: 131:92418  
 TITLE: Cyclodextrins as permeation enhancers: some theoretical evaluations and in vitro testing  
 AUTHOR(S): Masson, Mar; Loftsson, Thorsteinn; Masson, Gisli; Stefansson, Einar  
 CORPORATE SOURCE: Department of Pharmacy, University of Iceland, P.O Box 7210, Reykjavik, IS-107, Iceland  
 SOURCE: Journal of Controlled Release (1999), 59(1), 107-118  
 CODEN: JCREEC; ISSN: 0168-3659  
 PUBLISHER: Elsevier Science Ireland Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

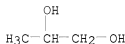
AB It is well known that cyclodextrins can enhance the permeation of poorly soluble drugs through biol. membranes. However, the permeability will decrease if cyclodextrin is added in excess of the concentration needed to solvate the drug. The mechanism of cyclodextrin effect on drug permeability has not been fully explained. The effect of cyclodextrins cannot be explained as solely due to increased solubility of the drug in the aqueous donor phase nor can it be explained by assuming that cyclodextrins act as classical permeation enhancers, i.e. by decreasing the barrier function of the lipophilic membrane. In the present work, we modeled the effect of cyclodextrins in terms of mixed barrier consisting of both diffusion and membrane controlled diffusion, where the diffusion of the drug in the aqueous diffusion layer is significantly slower than in the bulk of the donor. This diffusion model is described by simple math. equation where the properties of the system are expressed in terms of 2 constns. PM/Kd and M1/2. Data for the permeation of hydrocortisone through hairless mouse skin in the presence of various cyclodextrins, and cyclodextrin polymer mixts., were fitted to obtain values for these 2 constns. The rise in flux with increased cyclodextrin complex concentration and fall with excess cyclodextrin was accurately predicted. Data for the permeation of drugs through a semi-permeable cellophane membrane could also be fitted to the equation. Cyclodextrins act as permeation enhancers carrying the drug through the aqueous barrier, from the bulk solution towards the lipophilic surface of biol. membranes, where the drug mols. partition from the complex into the lipophilic membrane.

IT 57-55-6D, 1,2-Propanediol, cyclodextrin ethers, biological studies  
 RL: BPR (Biological process); BSU (Biological study, unclassified); THU

(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(cyclodextrins as permeation enhancers of drugs)

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:49473 HCAPLUS <<LOGINID::20080310>>

DOCUMENT NUMBER: 114:49473

TITLE: Influence of solvent composition on the solubilities and solid-state properties of the sodium salts of some drugs

AUTHOR(S): Rubino, Joseph T.; Thomas, Elizabeth

CORPORATE SOURCE: Sch. Pharm., Univ. North Carolina, Chapel Hill, NC, 27599-7360, USA

SOURCE: International Journal of Pharmaceutics (1990), 65(1-2), 141-5

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The solubilities of the Na salts of some sulfonamides, barbiturates and hydantoins were determined in mixts. of propylene glycol and water. In many cases, the solubilities of the salts in the mixed solvents were lower than those in water, however, several compds. exhibited enhanced solubilities in the mixed solvents. This unexpected increase in solubility was not related to the lipophilicity of the acidic forms of the drugs and occurred in at least one member of each group of compds. Anal. of the solid phase which had been equilibrated with each solvent indicated the formation of crystal hydrates for most of the solutes, and in at least one instance, mixed solvates. These compds. could be categorized on the basis of their desolvation temps. Those compds. with low temps. of desolvation had increased solubilities in propylene glycol-water mixts. while compds. with high desolvation temps. had decreased solubilities in the mixed solvents. These data indicate that crystal hydrate formation plays a significant role in determining if a cosolvent can be used to enhance the solubilities of certain sodium salts.

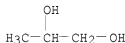
IT 57-55-6, Propylene glycol, properties

RL: PRP (Properties)

(drug sodium salts solubility in aqueous solns. of)

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



L13 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1990:83994 HCAPLUS <<LOGINID::20080310>>

DOCUMENT NUMBER: 112:83994

TITLE: Lipid-protein-partitioning (LPP) theory of skin enhancer activity: finite dose technique

AUTHOR(S): Goodman, Michael; Barry, Brian W.

CORPORATE SOURCE: Sch. Pharm., Univ. Bradford, Bradford, BD7 1DP, UK

SOURCE: International Journal of Pharmaceutics (1989), 57(1), 29-40

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The effectiveness of pretreating human epidermis with a range of accelerants on the permeation of model drugs 5-fluorouracil (5FU) and estradiol (ES) was studied. To complement previous steady-state investigations with these materials, a finite dose technique with drug deposited as a dried film with accelerants Azone and decyl Me sulfoxide in both propylene glycol (PG) and water vehicles, oleic acid (OA) in PG, and PG were used. Following accelerant pretreatments, drug permeation was monitored for 4 days. All PG-based accelerants and PG promoted 5FU penetration, 2% Azone in PG by 80-fold and PG by 12-fold (24-h results quoted). Water and aqueous-based accelerants were relatively ineffective, 3% Azone with 0.1% Tween 20 in saline producing only a 3.7-fold increase. A similar trend occurred with ES; 5% OA in PG was the most effective pretreatment, yielding a 35-fold increase, and PG produced a 9-fold effect. The aqueous-based enhancers were ineffective. With the finite dose technique, PG pretreatment increased drug penetration, contrasting with its ineffectiveness in our previous steady-state work. The glycol may solvate the tissue when it is not fully hydrated, competing with drug for hydrogen-bonding sites. Addnl., PG may aid more drug to partition into the skin. The accelerants themselves, which probably disrupt the lipid bilayers, were more effective with PG rather than with water vehicles. As PG may solvate horny cells, this suggests that both drugs may permeate the stratum corneum transcellularly to some extent. The 3 features of skin penetration enhancer activity (Lipid interaction, Protein alteration and Partitioning phenomena) represent the essential aspects of the LPP theory.

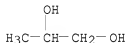
IT 57-55-6, Propylene glycol, biological studies

RL: BIOL (Biological study)

(penetration enhancer, skin pretreatment with, drug permeation response to, lipid-protein-partitioning theory in study of)

RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 20:40:11 ON 10 MAR 2008)

FILE 'HCAPLUS' ENTERED AT 20:40:35 ON 10 MAR 2008

E US20070015841/PN 25

L1 7 S E3

FILE 'STNGUIDE' ENTERED AT 20:41:33 ON 10 MAR 2008

FILE 'REGISTRY' ENTERED AT 20:43:14 ON 10 MAR 2008

L2 13 S 639010-33-6 OR 639010-34-7 OR 639010-35-8 OR 639010-36-9 O

L3 7 S 9004-65-3 OR 9004-96-0 OR 106392-12-5 OR 162011-90-7 OR 169

L4 20 S L2-L3

FILE 'HCAPLUS' ENTERED AT 20:43:48 ON 10 MAR 2008

L5 132496 S L4

L6 4 S L5 AND L1

FILE 'STNGUIDE' ENTERED AT 20:44:12 ON 10 MAR 2008

FILE 'HCAPLUS' ENTERED AT 20:47:58 ON 10 MAR 2008

L7 512737 S (CELECOXIB+ALL/CT

E (CELECOXIB OR "HEALTH PRODUCTS" OR "DRUGS" OR "ANTI-INFLAMMAT

E (CELECOXIB+ALL/CT

L8 555488 S (CELECOXIB OR "CYCLIC COMPOUNDS" OR "HETEROCYCLIC COMPOUNDS"

E (CELECOXIB+ALL/CT

L9 3288 S (CELECOXIB OR "CELECOXIB" OR "BENZENESULFONAMIDE, 4-(5-(4-MET

L10 568599 S L7-L9

E "57-55-6"/BI,RN 25

L11 30485 S E3 OR E5 OR E6 OR E7

L12 2399 S L10 AND L11

L13 16 S L12 AND SOLVATE

FILE 'STNGUIDE' ENTERED AT 20:51:13 ON 10 MAR 2008

L14 0 S L13 AND L5

L15 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1238781 HCAPLUS &lt;&lt;LOGINID::20080310&gt;&gt;

DOCUMENT NUMBER: 147:491657

TITLE: Novel low dose pharmaceutical compositions comprising nimesulide, preparation and use thereof

INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand

PATENT ASSIGNEE(S): Panacea Biotech Ltd., India

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007/122637	A1	20071101	WO 2007-IN162	20070423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM IN 2006DE01033 A 20080118 IN 2006-DE1033 20060424 PRIORITY APPLN. INFO.: IN 2006-DE1033 A 20060424				

AB Low dose pharmaceutical dosage form comprising nimesulide or its pharmaceutically acceptable salts, esters, solvates or hydrates thereof, along with one or more pharmaceutically acceptable excipient(s) for once- or twice-a-day administration are provided. The present invention also provides process of preparing such dosage forms and therapeutic methods of using such dosage forms. The low dose compns. are designed to exhibit bioavailability with reduced side effects, which is

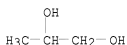
effective in the treatment of NSAID indicated disorders particularly, which require long-term treatment regimens such as arthritis. Such compns. reduce the cost of therapy in diseases, which require long-term therapies, are easy to manufacture, and also result in the reduction of dose related side effects associated with nimesulide therapy. Thus, tablets containing nimesulide 75.0 mg, microcryst. cellulose 285.0 mg, lactose 100.0 mg, croscarmellose sodium 20.0 mg, hydrogenated castor oil 7.5 mg, talc 7.5 mg, and colloidal silica 7.5 mg were prepared by wet granulation using iso-Pr alc. and compression.

IT 57-55-6, Propylene glycol, biological studies 57-55-6D, Propylene glycol, C8-10 diesters 9004-65-3, Hydroxypropyl methyl cellulose

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (low-dose nimesulide compns. optionally in combination with other agents for treatment of inflammation and related disorders)

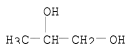
RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



RN 9004-65-3 HCAPLUS

CN Cellulose, 2-hydroxypropyl methyl ether (CA INDEX NAME)

CM 1

CRN 9004-34-6

CMF Unspecified

CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 67-56-1

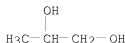
CMF C H4 O



CM 3

CRN 57-55-6

CMF C3 H8 O2



IT 9004-34-6, Cellulose, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (microcryst.; low-dose nimesulide compns. optionally in combination  
 with other agents for treatment of inflammation and related disorders)  
 RN 9004-34-6 HCAPLUS  
 CN Cellulose (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:845664 HCAPLUS <<LOGINID:20080310>>

DOCUMENT NUMBER: 147:220080

TITLE: Novel oral pharmaceutical compositions for poorly  
 absorbable drugs comprising adsorbents,  
 bioadhesive polymers, and permeation enhancers

INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand; Devarajan, Sampath  
 Kumar

PATENT ASSIGNEE(S): Panacea Biotec Ltd., India

SOURCE: PCT Int. Appl., 41pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007086078	A2	20070802	WO 2007-IN29	20070129
WO 2007086078	A3	20071213		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO: IN 2006-DE242 A 20060130

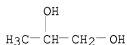
AB Novel oral pharmaceutical compns. comprising (i) at least one active agent(s) or its pharmaceutically acceptable salts, polymorphs, solvates, hydrates, analogs, enantiomers, tautomeric forms or mixts. thereof; (ii) at least one permeation enhancer(s); (iii) at least one adsorbent(s), (iv) at least one bioadhesive polymer(s); (v) optionally at least one acid soluble polymer(s), and (vi) optionally one or more other pharmaceutically acceptable excipient(s) are provided. The active agents exhibit poor or incomplete absorption, are preferably absorbed from the upper part of the gastrointestinal tract, and/or exhibit dissoln. rate with limited gastrointestinal absorption. The compns. particularly target the absorption window of the active agent(s) delivering the active agent

at the absorption site preferably over an extended period of time to enhance their bioavailability. Preferably the compns. are in the gastro-adhesive modified release form and/or fast disintegrating dosage form which release the active agent(s) over an extended period of time. Also provided are processes of preparation of such novel compns. and methods of using them. Thus, tablets were prepared comprising (a) a core composition containing amoxicillin trihydrate 500.0, glyceryl monocaprylate 60.0, microcryst. cellulose (Avicel PH 102) 100.0, sodium alginate 100.0, hydroxypropyl Me cellulose 50.0, anhydrous lactose (Pharmatose DCL 21) 42.3, and magnesium stearate 5.0, (b) a coating composition containing Eudragit E100 85.5, triacetin 8.5, talc 37.7, isopropanol as needed, and acetone as needed, and (c) an extragranular composition containing calcium CM-cellulose 100.0, Avicel PH 102 100.0, and magnesium stearate 10.0 mg, resp. The cores, prepared by dry granulation, were coated, mixed with the extragranular composition and compressed into tablets.

IT 9004-34-6, Cellulose, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (microcryst.; oral pharmaceutical compns. for poorly absorbable  
 drugs comprising adsorbent, bioadhesive polymer and permeation  
 enhancers)  
 RN 9004-34-6 HCAPLUS  
 CN Cellulose (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 57-55-6, Propylene glycol, biological studies 9004-34-6D  
 , Cellulose, ethers 9004-64-2, Hydroxypropyl cellulose  
 9004-65-3, Hydroxypropyl methyl cellulose  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral pharmaceutical compns. for poorly absorbable drugs  
 comprising adsorbent, bioadhesive polymer and permeation enhancers)  
 RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



RN 9004-34-6 HCAPLUS  
 CN Cellulose (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9004-64-2 HCAPLUS  
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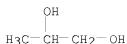
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CRN 9004-34-6  
 CMF Unspecified  
 CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 57-55-6  
 CMF C3 H8 O2



RN 9004-65-3 HCAPLUS  
CN Cellulose, 2-hydroxypropyl methyl ether (CA INDEX NAME)

CM 1

CRN 9004-34-6  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

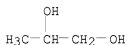
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CRN 67-56-1  
CMF C H4 O



CM 3

CRN 57-55-6  
CMF C3 H8 O2



L15 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:63611 HCAPLUS <<LOGINID::20080310>>  
DOCUMENT NUMBER: 146:148846  
TITLE: Pharmaceutical propylene glycol solvate  
compositions and method for preparation thereof  
Tawa, Mark; Almarsson, Orn; Remenar, Julius  
INVENTOR(S): Transform Pharmaceuticals, Inc., USA  
PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of Appl.  
SOURCE: No. PCT/US03/41273.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 18  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2007015841	A1	20070118	US 2003-747742	20031229
US 6559293	B1	20030506	US 2002-232589	20020903
US 2003166581	A1	20030904	US 2002-295995	20021118
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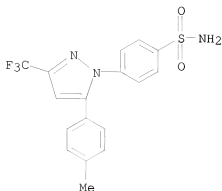
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WO 2004089313	A2	20041021	WO 2004-US9947	20040331
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ZA 2004007377	A	20051004	ZA 2004-7377	20040914
US 2006140985	A1	20060629	US 2005-541703	20050708
PRIORITY APPLN. INFO.:			US 2002-356764P	P 20020215
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 US 2002-232589 A1 20020903  
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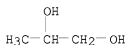
AB The present invention provides a pharmaceutical composition comprising a propylene glycol solvate of a drug which is hygroscopic or has low aqueous solubility. It has surprisingly been found that by using propylene glycol to form a solvate of a hygroscopic drug, the hygroscopicity of the drug is decreased and/or the stability and aqueous solubility is increased. The drug is therefore much easier to formulate and store than its counterpart untreated or hydrated form.

IT 169590-42-5, Celecoxib  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (pharmaceutical propylene glycol solvate compns. and method for preparation thereof)

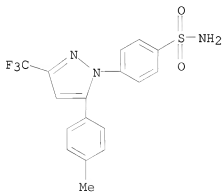
RN 169590-42-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



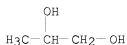
IT 57-55-6, Propylene glycol, biological studies  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT  
 (Reactant or reagent); USES (Uses)  
 (pharmaceutical propylene glycol solvate compns. and method  
 for preparation thereof)  
 RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)



IT 639010-40-5P 919287-67-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (pharmaceutical propylene glycol solvate compns. and method  
 for preparation thereof)  
 RN 639010-40-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol, hydrate (1:1:1:3) (CA INDEX NAME)  
 CM 1  
 CRN 169590-42-5  
 CMF C17 H14 F3 N3 O2 S

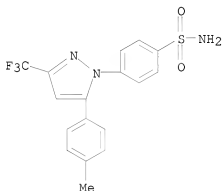


CM 2

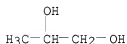
CRN 57-55-6  
CMF C3 H8 O2

RN 919287-67-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol (1:1:1) (CA INDEX NAME)

CM 1

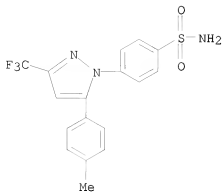
CRN 169590-42-5  
CMF C17 H14 F3 N3 O2 S

CM 2

CRN 57-55-6  
CMF C3 H8 O2

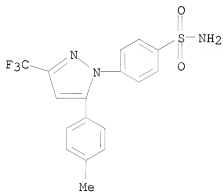
IT 639010-33-6, Celecoxib sodium 639010-34-7,  
 Celecoxib lithium 639010-35-8, Celecoxib  
 potassium  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pharmaceutical propylene glycol solvate compns. and method  
 for preparation thereof)

RN 639010-33-6 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



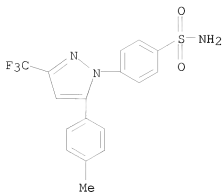
● Na

RN 639010-34-7 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, lithium salt (1:1) (CA INDEX NAME)



● Li

RN 639010-35-8 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, potassium salt (1:1) (CA INDEX NAME)



● K

L15 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1251802 HCAPLUS <<LOGINID::20080310>  
 DOCUMENT NUMBER: 146:33009  
 TITLE: Injections containing COX II inhibitors and NSAID for analgesic and antiinflammatory action  
 INVENTOR(S): Jain, Rajesh; Jindal, Kour Chand; Singh, Sukhjeet; Boldhane, Sanjay  
 PATENT ASSIGNEE(S): Panacea Biotech Ltd., India  
 SOURCE: PCT Int. Appl., 33pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006126214	A2	20061130	WO 2006-IN177	20060525
WO 2006126214	A3	20070607		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
IN 2005DE01357	A	20061208	IN 2005-DE1357	20050527
AU 2006250765	A1	20061130	AU 2006-250765	20060525
CA 2609242	A1	20061130	CA 2006-2609242	20060525
KR 2008016689	A	20080221	KR 2007-730585	20071227
PRIORITY APPLN. INFO.:				
			IN 2005-DE1357	A 20050527
			WO 2006-IN177	W 20060525

AB Novel and highly stable injectable pharmaceutical compns. comprising at least one cyclooxygenase-II enzyme (COX-II) inhibitor or non-steroidal anti-inflammatory drug (NSAID) or COX/LOX inhibitor, or its tautomeric forms, analogs, isomers, polymorphs, solvates, prodrugs or salts

thereof as active ingredient suitable for parenteral administration preferably by i.m. or i.v. route; process of preparing such compns. and therapeutic methods of using such compns. are provided. The analgesic and anti-inflammatory injectable compns. of the present invention are very useful in mammals particularly in humans for the treatment of acute painful conditions like one or more of post-operative trauma, pain associated with cancer, sports injuries, migraine headache, neurol. pain and pain associated with sciatica and spondylitis, and the like, and/or chronic painful conditions, and/or a variety of painful and inflammatory conditions like postoperative pain, primary dysmenorrhea and painful osteoarthritis, and/or other associated disorders such as inflammation, fever, allergy, or the like. For example, injections contained

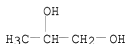
IT 57-55-6, Propylene glycol, biological studies 162011-90-7

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Celecoxib 181695-72-7, Valdecoxib 202409-33-4,  
Etoricoxib

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(injections containing COX II inhibitors and NSAID for analgesic and antiinflammatory action)

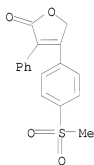
RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



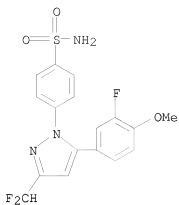
RN 162011-90-7 HCAPLUS

CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-phenyl- (CA INDEX NAME)

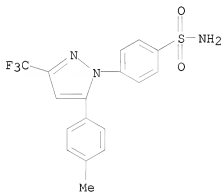


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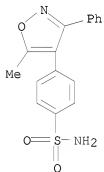
CN Benzenesulfonamide, 4-[3-(difluoromethyl)-5-(3-fluoro-4-methoxyphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 169590-42-5 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

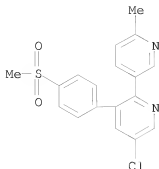


RN 181695-72-7 HCAPLUS  
 CN Benzenesulfonamide, 4-(5-methyl-3-phenyl-4-isoxazolyl)- (CA INDEX NAME)



RN 202409-33-4 HCAPLUS  
 CN 2,3'-Bipyridine, 5-chloro-6'-methyl-3-[4-(methylsulfonyl)phenyl]- (CA INDEX NAME)





L15 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1049848 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 143:353332  
 TITLE: Preparation of novel pharmaceutical forms  
 INVENTOR(S): Hickey, Magali Bourghol; Peterson, Matthew; Almarsson, Orn; Zaworotko, Michael J.; Shattock, Tanise; McMahon, Jennifer; Bis, Joanna; Remenar, Julius; Tawa, Mark  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089511	A2	20050929	WO 2005-US9305	20050317
WO 2005089511	A3	20070222		

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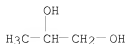
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-554834P P 20040319  
 US 2004-566647P P 20040430  
 US 2004-610296P P 20040916  
 US 2004-637907P P 20041221

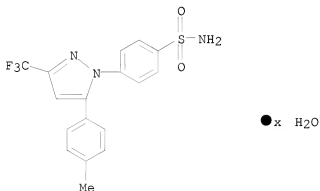
AB Crystalline salts, polymorphs, solvates, and hydrates of bicalutamide, 5-fluorouracil, donepezil, anastrozole, nelfinavir, mirtazapine, lansoprazole, and tamsulosin, or derivs. thereof are provided by the subject invention. Methods of making and using the same are also provided. Thus, donepezil and nicotinamide were dissolved in EtOH and excess of water to give donepezil tetrahydrate.

IT 57-55-6, Propylene glycol, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (preparation of novel pharmaceutical forms)

RN 57-55-6 HCAPLUS  
 CN 1,2-Propanediol (CA INDEX NAME)

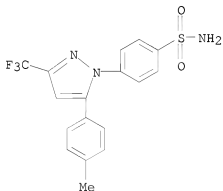


IT 639010-42-7P, Celecoxib sodium hydrate  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel pharmaceutical forms)  
 RN 639010-42-7 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monosodium salt, hydrate (9CI) (CA INDEX NAME)



● Na

IT 639010-33-6, Celecoxib sodium  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT  
 (Reactant or reagent); USES (Uses)  
 (preparation of novel pharmaceutical forms)  
 RN 639010-33-6 HCAPLUS  
 CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)



L15 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:589401 HCAPLUS <<LOGINID:20080310>>  
 DOCUMENT NUMBER: 141:128859  
 TITLE: Pharmaceutical propylene glycol solvate  
 compositions  
 INVENTOR(S): Tawa, Mark; Almarsson, Oern; Remenar, Julius  
 PATENT ASSIGNEE(S): Transform Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 317 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 18  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060347	A2	20040722	WO 2003-US41642	20031229
WO 2004060347	A3	20041104		
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WO 2004000284	A1	20031231	WO 2003-US19574	20030620
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US 2005025791	A1	20050203	US 2003-601092	20030620
WO 2004026235	A2	20040401	WO 2003-US28982	20030916
WO 2004026235	A3	20040805		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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WO 2004089313	A2	20041021	WO 2004-US9947	20040331
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US 2006140985	A1	20060629	US 2005-541703	20050708
US 2006223794	A1	20061005	US 2005-551014	20050929
PRIORITY APPLN. INFO.:			US 2002-232589	A 20020903
			US 2002-437516P	P 20021230
			US 2003-441335P	P 20030121
			US 2003-456027P	P 20030318
			US 2003-456608P	P 20030321
			US 2003-459501P	P 20030401
			US 2003-601092	A 20030620
			WO 2003-US19574	A 20030620
			US 2003-486713P	P 20030711
			WO 2003-US28982	A 20030916
			WO 2003-US41273	A 20031224
			US 2002-356764P	P 20020215
			US 2002-360768P	P 20020301
			US 2002-380288P	P 20020515
			US 2002-384152P	P 20020531
			US 2002-390881P	P 20020621
			US 2002-406974P	P 20020830
			US 2002-412459P	P 20020920
			US 2002-426275P	P 20021114
			US 2002-427086P	P 20021115
			US 2002-295995	A3 20021118
			US 2002-428515P	P 20021122
			US 2002-429515P	P 20021126
			US 2003-439282P	P 20030110
			US 2003-439283P	P 20030110
			US 2003-444315P	P 20030131
			US 2003-451213P	P 20030228
			US 2003-378956	A 20030303
			US 2003-463962P	P 20030418
			US 2003-449307	A 20030530
			US 2003-487064P	P 20030711
			US 2003-637829	A 20030808
			WO 2003-US27772	A2 20030904
			US 2003-660202	A2 20030911
			US 2003-747742	A 20031229
			US 2004-747742	A1 20031229
			WO 2003-US341642	A 20031229
			WO 2003-US41642	W 20031229
			WO 2004-US400	W 20040108
			WO 2004-US6288	A 20040226
			US 2004-548343P	P 20040227
			WO 2004-US9947	W 20040331

AB The invention relates to pharmaceutical compns. comprising propylene glycol solvates of active pharmaceutical ingredients (APIs) which are hygroscopic or has low aqueous solubility. The composition comprises solvate characterized by (i) the mole ratio of propylene glycol to API in the range of 0.25 to 2; (ii) a crystalline form, (iii) a powder X-ray diffraction spectrum which differs from the corresponding powder X-ray diffraction spectrum of the unsolvated API by at least one property, (iv) stability to temps. of up to 50° under a stream of gas in a thermogravimetric anal. apparatus, (v) the API is optionally in the form of a metal salt, such as an alkali or an alkaline earth metal salt, (vi) the API has low aqueous solubility and is selected from steroid drugs, and (vii) the composition further comprises a pharmaceutically-acceptable diluent, excipient or carrier. A method for preparing a propylene glycol solvate of an API comprises (a) contacting propylene glycol with an API in solution, (b) crystallizing a propylene glycol solvate of the API from the solution, and (c) isolating the solvate. For example, to a solution of celecoxib (253 mg, 0.664 mmol) in di-Et ether (6 mL) was added propylene glycol (0.075 mL, 102 mmol). To the clear solution was added potassium t-butoxide in THF (1 M, 0.66 mL, 0.66 mmol). Crystals immediately began to form and after 5 min the solid had completely crystallized. The crystalline salt form was found to be a 1:1 propylene glycol solvate of celecoxib potassium salt.

IT 639010-38-1P 639010-39-2P 639010-40-5P  
919287-67-5P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and compns. of propylene glycol solvates with  
hygroscopic or low soluble drugs)

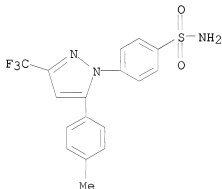
RN 639010-38-1 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monopotassium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 639010-35-8

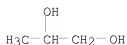
CMF C17 H14 F3 N3 O2 S . K



● K

CM 2

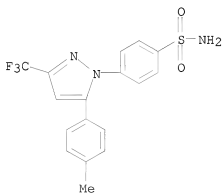
CRN 57-55-6  
CMF C3 H8 O2



RN 639010-39-2 HCAPLUS  
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, monolithium salt, compd. with 1,2-propanediol (1:1) (9CI) (CA INDEX NAME)

CM 1

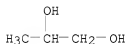
CRN 639010-34-7  
CMF C17 H14 F3 N3 O2 S . Li



● Li

CM 2

CRN 57-55-6  
CMF C3 H8 O2

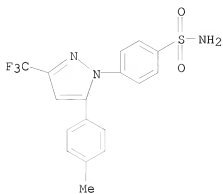


RN 639010-40-5 HCAPLUS  
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol, hydrate (1:1:1:3) (CA INDEX NAME)

CM 1

CRN 169590-42-5

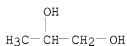
CMF C17 H14 F3 N3 O2 S



CM 2

CRN 57-55-6

CMF C3 H8 O2



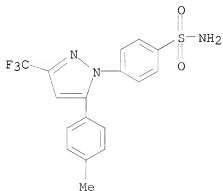
RN 919287-67-5 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt, compd. with 1,2-propanediol (1:1:1) (CA INDEX NAME)

CM 1

CRN 169590-42-5

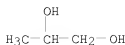
CMF C17 H14 F3 N3 O2 S



CM 2

CRN 57-55-6

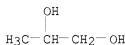
CMF C3 H8 O2



IT 57-55-6, Propylene glycol, reactions 169590-42-5,  
 Celecoxib 639010-33-6, Celecoxib sodium  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation and compns. of propylene glycol solvates with  
 hygroscopic or low soluble drugs)

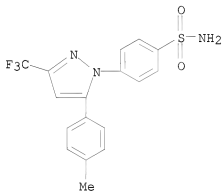
RN 57-55-6 HCAPLUS

CN 1,2-Propanediol (CA INDEX NAME)



RN 169590-42-5 HCAPLUS

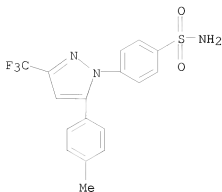
CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 639010-33-6 HCAPLUS

CN Benzenesulfonamide, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]-, sodium salt (1:1) (CA INDEX NAME)





L15 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2001:747580 HCAPLUS <<LOGINID::20080310>>  
 DOCUMENT NUMBER: 135:278052  
 TITLE: Oily compositions containing highly fat-soluble drugs  
 INVENTOR(S): Nishihara, Yoshitaka; Kinoshita, Haruki; Yoshikawa, Takayoshi  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

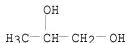
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001074331	A1	20011011	WO 2001-JP2621	20010329
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001044614	A	20011015	AU 2001-44614	20010329
CA 2404381	A1	20020926	CA 2001-2404381	20010329
EP 1273287	A1	20030108	EP 2001-917589	20010329
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JP 3831253	B2	20061011	JP 2001-572076	20010329
MX 2002PA09763	A	20030327	MX 2002-PA9763	20021003
US 2003149061	A1	20030807	US 2002-240602	20021003
PRIORITY APPLN. INFO.:			JP 2000-102272	A 20000404
			WO 2001-JP2621	W 20010329

OTHER SOURCE(S): MARPAT 135:278052  
 AB Disclosed are oily compns. which contain as the principal agent highly fat-soluble drugs, pharmaceutically acceptable salts and solvates thereof and further contain (1) a triester of glycerol

with a medium-chain fatty acid and/or an ester of propylene glycol with a medium-chain fatty acid, (2) a triester of glycerol with a long-chain fatty acid, and (3) a surfactant. An emulsion contained 3''-fluoro-2',3',5',6'-tetramethyl-N-(3-methyl-2-butenyl)-4''-[(3-methyl-2-butenyl)oxy]-[1,1':4',1''-terphenyl]-4-amine 10 %, Miglyol-812 60 %, avocado oil 10 %, and sorbitan monopalmitate 20 %.

IT 57-55-6D, Propylene glycol, esters with medium-chain fatty acids  
106392-12-5, pluronic F87  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(oily compns. containing highly fat-soluble drugs)

RN 57-55-6 HCAPLUS  
CN 1,2-Propanediol (CA INDEX NAME)



RN 106392-12-5 HCAPLUS  
CN Oxirane, 2-methyl-, polymer with oxirane, block (CA INDEX NAME)

CM 1

CRN 75-56-9  
CMF C3 H6 O



CM 2

CRN 75-21-8  
CMF C2 H4 O

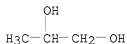


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:247477 HCAPLUS <<LOGINID::20080310>>  
DOCUMENT NUMBER: 131:92418  
TITLE: Cyclodextrins as permeation enhancers: some theoretical evaluations and in vitro testing  
AUTHOR(S): Masson, Mar; Loftsson, Thorsteinn; Masson, Gisli; Stefansson, Einar  
CORPORATE SOURCE: Department of Pharmacy, University of Iceland, P.O Box 7210, Reykjavik, IS-107, Iceland  
SOURCE: Journal of Controlled Release (1999), 59(1), 107-118  
CODEN: JCREEC; ISSN: 0168-3659  
PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal  
LANGUAGE: English

- AB It is well known that cyclodextrins can enhance the permeation of poorly soluble drugs through biol. membranes. However, the permeability will decrease if cyclodextrin is added in excess of the concentration needed to solvate the drug. The mechanism of cyclodextrin effect on drug permeability has not been fully explained. The effect of cyclodextrins cannot be explained as solely due to increased solubility of the drug in the aqueous donor phase nor can it be explained by assuming that cyclodextrins act as classical permeation enhancers, i.e. by decreasing the barrier function of the lipophilic membrane. In the present work, we modeled the effect of cyclodextrins in terms of mixed barrier consisting of both diffusion and membrane controlled diffusion, where the diffusion of the drug in the aqueous diffusion layer is significantly slower than in the bulk of the donor. This diffusion model is described by simple math. equation where the properties of the system are expressed in terms of 2 const. PM/Kd and M1/2. Data for the permeation of hydrocortisone through hairless mouse skin in the presence of various cyclodextrins, and cyclodextrin polymer mixts., were fitted to obtain values for these 2 const. The rise in flux with increased cyclodextrin complex concentration and fall with excess cyclodextrin was accurately predicted. Data for the permeation of drugs through a semi-permeable cellophane membrane could also be fitted to the equation. Cyclodextrins act as permeation enhancers carrying the drug through the aqueous barrier, from the bulk solution towards the lipophilic surface of biol. membranes, where the drug mols. partition from the complex into the lipophilic membrane.
- IT 57-55-6D, 1,2-Propanediol, cyclodextrin ethers, biological studies  
9004-65-3D, HPMC, cyclodextrin ethers  
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(cyclodextrins as permeation enhancers of drugs)
- RN 57-55-6 HCAPLUS  
CN 1,2-Propanediol (CA INDEX NAME)



- RN 9004-65-3 HCAPLUS  
CN Cellulose, 2-hydroxypropyl methyl ether (CA INDEX NAME)
- CM 1
- CRN 9004-34-6  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

- CM 2
- CRN 67-56-1  
CMF C H4 O



CM 3

CRN 57-55-6  
CMF C3 H8 O2